

## ***SAFRAMYCINS, ANALOGUES AND USES THEREOF***

### ***PRIORITY INFORMATION***

5 The present application claims priority under 35 U.S.C. § 119(e) to provisional application number 60/245,888, filed November 3, 2000, entitled "Synthesis of Saframycins, Analogues and Uses Thereof", the entire contents of which are hereby incorporated by reference.

### ***GOVERNMENT SUPPORT***

10 This invention was made in part with a grant from the National Institutes of Health (Grant Number: 7 R37 CA47148-12). Therefore, the government has certain rights in the invention.

### ***BACKGROUND OF THE INVENTION***

15 The discovery of novel therapeutic agents has traditionally relied on the identification of biologically active secondary metabolites of microorganisms. These compounds have provided a rich source of natural products that have either been utilized directly as effective therapeutic agents, or have provided leads for novel therapeutic agents to be developed through synthetic techniques.

20 One disease for which the development of novel therapeutics is particularly important is cancer, which not only has eluded a "cure", but is also one of the leading disease-related causes of death of the human population. Examples of anticancer agents that have been identified from or developed from natural sources include paclitaxel, mitomycin C, and adriamycin to name a few. One drawback to the use of secondary metabolites from natural resources, however, has been that these agents are generally only present in minute quantities. Fortunately, in an effort to  
25 make these agents more available for use, and to enable further pharmaceutical research, synthetic chemists have developed elegant and efficient synthetic strategies to enable the production of either the natural products themselves, or useful derivatives thereof.

30 Although these therapeutic agents, and others developed from natural sources, through the efforts of synthetic chemistry, are currently in use for the treatment of individuals having cancer, many of these agents, as well as other common treatments such as surgery and radiation, are often unselective for tumor cells and/or are so toxic as to render the individual significantly